US application Serial No. 10/040,319 Attorney Dock t No. R0079C-REG

IN THE CLAIMS:

Below is a complete listing of pending claims:

 Q^{5}

1 (Amended).

A compound comprising Formula I:

$$\begin{array}{c|c}
R^1 & R^2 \\
RO & N & N & R^4 \\
RO & Z & H & R^4
\end{array}$$

I

wherein:

X is carbon or nitrogen;

Y is carbon;

and X-Y considered together are two adjoining atoms of the ring A, said ring being a fused aromatic ring of five to six atoms per ring optionally incorporating one to two heteroatoms per ring, chosen from N, O, or S; wherein, when X is nitrogen, the bond between atoms X and Y is a single bond, and when X is carbon, the bond between atoms X and Y is double bond;

Z is -C(O)-or $-S(O)_2$ -;

each R is independently selected from lower alkyl;

R¹ is <u>selected from:</u>

hydrogen; lower alkyl;

aryl; arylalkyl; arylaminocarbonyl; wherein the aryl group is optionally substituted with one to two substituents selected from lower alkyl, halo, cyano and of lower alkoxy; and

heteroaryl or heteroarylalkyl, wherein the aryl group is optionally substituted with one or two substitutents selected from the group consisting of lower alkyl, halogen, cyano, and ex lower alkyl;

R², R³, and R⁴ are each independently in each occurrence selected from:

hydrogen; lower alkyl;

25

cycloalkyl or cycloalkylalkyl, wherein the cycloalkyl group is optionally substituted with one, or three two, or three substituents selected from the group consisting of hydroxy, cyano, lower alkyl, lower alkoxy, halo-lower alkoxy, alkylthio, halogen, haloalkyl, hydroxyalkyl, nitro, alkoxycarbonyl, amino, alkylamino, alkylsulfonyl, arylsulfonyl, alkylaminosulfonyl, arylaminosulfonyl, alkylsulfonylamino, arylsulfonylamino, alkylaminocarbonyl, arylaminocarbonyl, alkylcarbonylamino, arylcarbonylamino, and phenyl optionally substituted with one or two substituents selected from the group consisting of lower alkyl, halogen, cyano and lower alkoxy;

aryl or arylalkyl, wherein the aryl group is optionally substituted with one, or three two, or three substituents selected from the group consisting of hydroxy, cyano, lower alkyl, lower alkoxy, halogen-lower alkoxy, alkylthio, halogen, haloalkyl, hydroxyalkyl, nitro, alkoxycarbonyl, amino, alkylamino, alkylsulfonyl, arylsulfonyl, arylsulfonyl, alkylsulfonylamino, alkylaminosulfonyl, alkylsulfonylamino, arylsulfonylamino, alkylaminocarbonyl, arylaminocarbonyl, alkylcarbonylamino, and arylcarbonylamino, or two adjacent atoms of the aryl ring can be substituted with a methylenedioxy or ethylenedioxy group to form a fused heterocyclyl ring;

heterocyclyl or heterocyclylalkyl, wherein the heterocyclyl group is optionally substituted with one, or three two, or three substituents selected from the group consisting of hydroxy, hydroxyalkyl, oxo, cyano, cyanoalkyl, lower alkyl, lower alkoxy, alkoxyalkyl, halogenlower alkoxy, alkylthio, halogen, haloalkyl, nitro, alkoxycarbonyl, amino, alkylamino, alkylsulfonyl, arylsulfonyl, alkylaminosulfonyl, arylaminosulfonyl, alkylsulfonylamino, arylsulfonylamino, and alkylaminocarbonyl, alkylcarbonylamino, and

 a^5

arylcarbonylamino, and phenyl optionally substituted with one or two substituents selected from the group consisting of lower alkyl, halogen, cyano and lower alkoxy;

heteroaryl or heteroarylalkyl, wherein the heteroaryl group is optionally substituted with one, or three two, or three substituents selected from the group consisting of hydroxy, cyano, lower alkyl, lower alkoxy, halogen-lower alkoxy, alkylthio, halogen, haloalkyl, hydroxyalkyl, nitro, alkoxycarbonyl, amino, alkylamino, alkylsulfonyl, arylsulfonyl, alkylaminosulfonyl, arylsulfonylamino, arylsulfonylamino, alkylaminocarbonyl, arylaminocarbonyl, alkylcarbonylamino, and arylcarbonylamino;

hydroxy; hydroxyalkyl; alkoxy; alkoxyalkyl;

halo; haloalkyl; cyano; cyanoalkyl; and

-(CH₂)₀₋₃NR'R"; -C($\underline{=}$ NH)-NR'R"; -N-C($\underline{=}$ NR')-R"; -N=CR'-NR'R"; -

SO₂NR'R"; -NSO₂R'; -C(O)R'; -C(O)NR' R"; of -NC(O)R'; <u>or -N=R"</u>; with the proviso that if A is a benzene ring, at least one of R², R³ or R⁴ is not hydrogen; or

R² and R³, if adjacent, taken together with the carbons to which they are attached may also form a 5- to 7- membered aromatic, saturated or unsaturated ring, optionally incorporating one or two ring heteroatoms chosen from N, S, or O, which can be optionally substituted with one or two substitutents selected from lower alkyl, halo, haloalkyl, cyano, alkylthio, and er lower alkoxy; and

R' and R" are independently in each occurrence selected from:

hydrogen; lower alkyl; substituted lower alkyl;

hydroxyalkyl; alkoxyalkyl;

cycloalkyl, wherein the cycloalkyl group is optionally substituted with one, or more two, or three substituents selected from the group consisting of hydroxy, cyano, lower alkyl, lower alkoxy, halogenlower alkoxy, alkylthio, halogen, haloalkyl, hydroxyalkyl, nitro,

US application Serial No. 10/040,319 Attorney Docket No. R0079C-REG

 Ω^5

alkoxycarbonyl, amino, alkylamino, alkylsulfonyl, arylsulfonyl, alkylaminosulfonyl, arylaminosulfonyl, alkylsulfonylamino, arylsulfonylamino, alkylaminocarbonyl, arylaminocarbonyl, alkylcarbonylamino, arylcarbonylamino, and phenyl;

aryl or arylalkyl, wherein the aryl group is optionally substituted with one, or three two, or three substituents selected from the group consisting of hydroxy, cyano, lower alkyl, lower alkoxy, halogen-lower alkoxy, alkylthio, halogen, haloalkyl, hydroxyalkyl, nitro, alkoxycarbonyl, amino, alkylamino, alkylsulfonyl, arylsulfonyl, alkylsulfonyl, arylsulfonyl, alkylsulfonylamino, arylsulfonylamino, alkylaminocarbonyl, arylaminocarbonyl, alkylcarbonylamino, and arylcarbonylamino, or two adjacent atoms of the aryl ring can be substituted with a methylenedioxy or ethylenedioxy group to form a fused heterocyclic ring;

heteroaryl or heteroarylalkyl, wherein the heteroaryl group is optionally substituted with one, or more two, or three substituents selected from the group consisting of hydroxy, cyano, lower alkyl, lower alkoxy, halogen-lower alkoxy, alkylthio, halogen, haloalkyl, hydroxyalkyl, nitro, alkoxycarbonyl, amino, alkylamino, alkylsulfonyl, arylsulfonyl, alkylaminosulfonyl, arylaminosulfonyl, alkylsulfonylamino, arylsulfonylamino, alkylaminocarbonyl, arylaminocarbonyl, alkylcarbonylamino, and arylcarbonylamino;

heterocyclyl or heterocyclylalkyl, wherein the heterocyclyl group is optionally substituted with one, to more two, or three substituents selected from the group consisting of hydroxy, oxo, cyano, cyanoalkyl, lower alkyl, lower alkoxy, halogen-lower alkoxy, alkylthio, halogen, haloalkyl, hydroxyalkyl, nitro, alkoxycarbonyl, amino, alkylamino, alkylsulfonyl, arylsulfonyl, alkylaminosulfonyl, arylsulfonylamino,

alkylaminocarbonyl, arylaminocarbonyl, alkylcarbonylamino, and arylcarbonylamino;

or R' and R" together with the nitrogen to which they are attached to may also form a 5- to 7- membered ring, optionally incorporating one additional ring heteroatom chosen from N, O or S; wherein this ring is optionally substituted with one or two substituents selected from the group consisting of lower alkyl, halogen, cyano, of lower alkoxy and phenyl optionally substituted with one or two substituents selected from the group consisting of lower alkyl, halogen, cyano and lower alkoxy;

R" is selected from heterocyclyl optionally substituted with one or two substituents selected from the group consisting of hydroxy, oxo, cyano, cyanoalkyl, lower alkyl, and lower alkoxy;

or individual isomers, racemic or non-racemic mixtures of isomers or pharmaceutically acceptable salts or solvates thereof.

- 2. (original) The compound of Claim 1, wherein X is carbon.
- 3. (original) The compound of Claim 1, wherein X is nitrogen.
- 4. (original) The compound of Claim 1, wherein R¹ is hydrogen.
- 5. (original) The compound of Claim 4, wherein X is carbon and A is a fused arylling.
- 6. (original) The compound of Claim 5, wherein A is a fused benzene ring.
- 7. (original) The compound of Claim 4, wherein X is carbon and A is a fused heteroaryl ring.
- 8. (original) The compound of Claim 7, wherein A is a fused pyrimidine ring. WINSLOWA: 121439v1

- a^{5}
- 9. (original) The compound of Claim 7, wherein A is a fused pyrrole ring.
- 10. (amended) The compound of Claim 9, wherein R² and R³ taken together with the carbons to which they are attached form a fused benzene ring, optionally substituted with one or two substitutents selected from lower alkyl, halo, haloalkyl, cyano, lower alkyl, alkylthio, or lower alkoxy.
- 11. (original) The compound of Claim 7, wherein A is a fused pyridine ring.
- 12. (original) The compound of Claim 7, wherein A is a fused imidazole ring.
- 13. (original) The compound of Claim 4, wherein X is nitrogen and A is a fused imidazole ring.
- 14. (amended) The compound of Claim 4, wherein R² is -(CH₂)₀₋₃NR'R" or -SO₂NR'R", and wherein R' and R" are independently in each occurrence <u>selected from</u> hydrogen, lower alkyl, substituted lower alkyl, cycloalkyl, aryl, arylalkyl, heteroaryl, <u>and</u> heteroarylalkyl, or R' and R" together with the nitrogen <u>to which</u> they are attached may alse form a 5- to 7- membered ring, optionally incorporating one additional ring heteroatom chosen from N, O, or S.
- 15. (amended) The compound of Claim 6, wherein R² is -(CH₂)₀₋₃NR'R" or -SO₂NR'R", and wherein R' and R" are independently in each occurrence <u>selected from</u> hydrogen, lower alkyl, substituted lower alkyl, cycloalkyl, aryl, arylalkyl, heteroaryl, <u>and</u> heteroarylalkyl, or R' and R" together with the nitrogen <u>to which</u> they are attached may also form a 5- to 7- membered ring, optionally incorporating one additional ring heteroatom chosen from N, O, or S.
- 16. (original) The compound of Claim 15, wherein Z is -C(O)-. WINSLOWA: 121439v1

US application Serial No. 10/040,319 Attorn v Docket No. R0079C-REG

17. (Canceled).

a

- 18. (amended) The compound of Claim 6, wherein R² is selected from the groups C(NH)-NR'R", -N-C(NR')-R", and -N=CR'-NR'R", and wherein R' and R" are independently in each occurrence <u>selected from</u> hydrogen, lower alkyl, substituted lower alkyl, cycloalkyl, aryl, arylalkyl, heteroaryl, <u>and</u> heteroarylalkyl, or R' and R" together with the nitrogen <u>to which</u> they are attached may also form a 5- to 7- membered ring, optionally incorporating one additional ring heteroatom chosen from N, O, or S.
- 19. (amended) The compound of Claim 18, wherein Z is -C(O)-.
- 20. (amended) A compound of Claim 6, wherein R² is anyl or heteroaryl.
- 21. (amended) A compound of Claim 6, wherein R² is alkoxy, cyano, or cyanoalkyl.
- 22. (amended) The compound of Claim 8, wherein R² is -(CH₂)₀₋₃NR'R" or -SO₂NR'R", and wherein R' and R" are independently in each occurrence <u>selected from</u> hydrogen, lower alkyl, substituted lower alkyl, cycloalkyl, aryl, arylalkyl, heteroaryl, <u>and</u> heteroarylalkyl, or R' and R" together with the nitrogen <u>to which</u> they are attached may also-form a 5- to 7- membered ring, optionally incorporating one additional ring heteroatom chosen from N, O, or S.
- 23. (amended) The compound of Claim 22, wherein R² is -NR'R", and wherein R' and R" are selected from hydrogen or alkyl, or R' and R" taken together with the nitrogen to which they are attached may also form a 5- to 7- membered ring, optionally incorporating one additional ring heteroatom chosen from N, O, or S.
- 24. (original) The compound of Claim 22, wherein Z is -C(O)-.

US application Serial No. 10/040,319 Attorney Docket No. R0079C-REG

25. (canceled).

 \mathcal{Q}^{5}

- (amended) The compound of Claim 13, wherein R² is -(CH₂)₀₋₃NR'R" or -SO₂NR'R", and wherein R' and R" are independently in each occurrence <u>selected from</u> hydrogen, lower alkyl, substituted lower alkyl, cycloalkyl, aryl, arylalkyl, heteroaryl, <u>and</u> heteroarylalkyl, or R' and R" together with the nitrogen <u>to which</u> they are attached to may also form a 5- to 7- membered ring, optionally incorporating one additional ring heteroatom chosen from N, O or S.
- 27. The compound of Claim 26, wherein Z is -C(O)-.
- 28 (Canceled).
- 29. (Amended) The compound of Claim 1, wherein the compound is:
 - 6,7-dimethoxy-2-[5-(4-methoxy-phenyl)-3,4-dihydro-1*H*-isoquinolin-2-yl]-3*H*-quinazolin-4-one;
 - 6,7-dimethoxy-2-[7-(4-methoxy-phenyl)-3,4-dihydro-1*H*-isoquinolin-2-yl]-3*H*-quinazolin-4-one;
 - 6,7-dimethoxy-2-(4-morpholin-4-yl-5,8-dihydro-6*H*-pyrido[3,4-*d*]pyrimidin-7-yl)-3*H*-quinazolin-4-one;
 - 6,7-dimethoxy-2-(5-pyridin-3-yl-3,4-dihydro-1*H*-isoquinolin-2-yl)-3*H*-quinazolin-4-one;
 - 2-(4-benzylamino-5,8-dihydro-6*H*-pyrido[3,4-*d*]pyrimidin-7-yl)-6,7-dimethoxy-3*H*-quinazolin-4-one;
 - 6,7-dimethoxy-2-(5-pyrrolidin-1-yl-3,4-dihydro-1*H*-isoquinolin-2-yl)-3*H*-quinazolin-4-one;
 - 6,7-dimethoxy-2-(5-pyridin-4-yl-3,4-dihydro-1*H*-isoquinolin-2-yl)-3*H*-quinazolin-4-one;
 - 6,7-dimethoxy-2-(5-pyrimidin-5-yl-3,4-dihydro-1*H*-isoquinolin-2-yl)-3*H*-quinazolin-4-one;

US application Serial No. 10/040,319 Attorn y Dock t No. R0079C-REG



- 2-(6,7-dimethoxy-4-oxo-1,4-dihydro-quinazolin-2-yl)-1,2,3,4-tetrahydro-isoquinoline-7-sulfonic acid (2-pyridin-2-yl-ethyl)-amide;
- 2-(6,7-dimethoxy-4-oxo-3,4-dihydro-quinazolin-2-yl)-6,7-dimethoxy-1,2,3,4-tetrahydro-isoquinoline-5-carbonitrile;
- 6,7-dimethoxy-2-[5-(1*H*-pyrrol-2-yl)-3,4-dihydro-1*H*-isoquinolin-2-yl]-3*H*-quinazolin-4-one;
- 2-[5-(1*H*-imidazol-2-yl)-3,4-dihydro-1*H*-isoquinolin-2-yl]-6,7-dimethoxy-3*H*-quinazolin-4-one;
- 6,7-dimethoxy-2-[4-(4-methyl-piperazin-1-yl)-5,8-dihydro-6*H*-pyrido[3,4-d]pyrimidin-7-yl]-3*H*-quinazolin-4-one;
- 6,7-dimethoxy-2-{4-[(2-methoxy-ethyl)-methyl-amino]-5,8-dihydro-6*H*-pyrido[3,4-*d*-pyrimidin-7-yl}-3*H*-quinazolin-4-one;
- 6,7-dimethoxy-2-[5-(morpholine-4-sulfonyl)-3,4-dihydro-1*H*-isoquinolin-2-yl]-3*H*-quinazolin-4-one;
- 6,7-dimethoxy-2-(4-piperidin-1-yl-5,8-dihydro-6*H*-pyrido[3,4-*d*]pyrimidin-7-yl)-3*H*-quinazolin-4-one;
- 6,7-dimethoxy-2-[5-(1-morpholin-4-yl-methanoyl)-3,4-dihydro-3*H*-isoquinolin-2-yl]-3*H*-quinazolin-4-one;
- 6,7-dimethoxy-2-(1-phenyl-1,4,6,7-tetrahydro-imidazo[4,5-c]pyridin-5-yl)-3*H*-quinazolin-4-one;
- 2-[1-(4-chloro-phenyl)-1,4,6,7-tetrahydro-imidazo[4,5-c]pyridin-5-yl]-6,7-dimethoxy-3*H*-quinazolin-4-one;
- 6,7-dimethoxy-2-(1-naphthalen-2-yl-1,4,6,7-tetrahydro-imidazo[4,5-c]pyridin-5-yl)-3*H*-quinazolin-4-one;
- 6,7-dimethoxy-2-[1-(4-methoxy-phenyl)-1,4,6,7-tetrahydro-imidazo[4,5-*c*]pyridin-5-yl]-3*H*-quinazolin-4-one;
- 2-[1-(3-chloro-phenyl)-1,4,6,7-tetrahydro-imidazo[4,5-c]pyridin-5-yl]-6,7-dimethoxy-3*H*-quinazolin-4-one;
- 6,7-dimethoxy-2-(1-*m*-tolyl-1,4,6,7-tetrahydro-imidazo[4,5-*c*]pyridin-5-yl)-3*H*-quinazolin-4-one;

US application Serial No. 10/040,319 Attorney Dock t No. R0079C-REG



- 6,7-dimethoxy-2-(3-phenyl-5,6-dihydro-8*H*-imidazo[1,5-*a*]pyrazin-7-yl)-1*H*-quinazolin-4-one;
- 2-(3-cyclohexyl-5,6-dihydro-8*H*-imidazo[1,5-*a*]pyrazin-7-yl)-6,7-dimethoxy-1*H*-quinazolin-4-one;
- 6,7-dimethoxy-2-(1,3,4,9-tetrahydro-β-carbolin-2-yl)-3*H*-quinazolin-4-one;
- 6,7-dimethoxy-2-(6-methoxy-1,3,4,9-tetrahydro- β -carbolin-2-yl)-3H-quinazolin-4-one;
- 6,7-dimethoxy-2-(7-methylsulfanyl-1,3,4,9-tetrahydro- β -carbolin-2-yl)-3*H*-quinazolin-4-one;
- 2-(3,4-dihydro-1*H*-2,7,10-triaza-anthracen-2-yl)-6,7-dimethoxy-3*H*-quinazolin-4-one;
- 3-(6,7-dimethoxy-3,4-dihydro-1*H*-isoquinolin-2-yl)-6,7-dimethoxy-2*H*-benzo[1,2,4]thiadiazine-1,1-dioxide;
- 2-(cyclohoxylamino-5,6-dihydro-8*H*-imidazo[1,5-*a*]pyrazin-7-yl)-6,7-dimethoxy-2*H*-benzo[1,2,4]thiadiazine-1,1-dioxide;
- 6,7-dimethoxy-3-(4-morpholin-4-yl-5,8-dihydro-6*H*-pyrido[3,4*d*]pyrimidin-7-yl)-2*H*-benzo[1,2,4]thiadiazine-1,1-dioxide;
- *N*-[2-(6,7-dimethoxy-4-oxo-3,4-dihydro-quinazolin-2-yl)-1,2,3,4-tetrahydro-isoquinolin-5-yl]-cyclopentanecarboxamidine;
- 6,7-dimethoxy-2-(5-morpholin-4-ylmethyl-3,4-dihydro-1*H*-isoquinolin-2-yl)-3*H*-quinazolin-4-one;
- 6,7-dimethoxy-2-(5-piperidin-1-ylmethyl-3,4-dihydro-1*H*-isoquinolin-2-yl)-3*H*-quinazolin-4-one;
- 2-[5-(4,5-dihydro-1*H*-imidazol-2-ylamino)-3,4-dihydro-1*H*-isoquinolin-2-yl]-6,7-dimethoxy-3*H*-quinazolin-4-one;
- *N*-[2-(6,7-dimethoxy-4-oxo-3,4-dihydro-quinazolin-2-yl)-1,2,3,4-tetrahydro-isoquinolin-5-yl]-cyclobutanecarboxamidine;
- *N*-[2-(6,7-dimethoxy-4-oxo-3,4-dihydro-quinazolin-2-yl)-1,2,3,4-tetrahydro-isoquinolin-5-yl]-butyramidine;

N-[2-(6,7-dimethoxy-4-oxo-3,4-dihydro-quinazolin-2-yl)-1,2,3,4-tetrahydro-

isoquinolin-5-yl]-N,N-dimethyl-formamidine;

6,7-dimethoxy-2-[5-(1-methyl-4,5-dihydro-3H-pyrrol-2-ylamino)-3,4-dihydro-1Hisoquinolin-2-yl]-3H-quinazolin-4-one; or

2-[5-(4,5-dihydro-3H-pyrrol-2-ylamino)-3,4-dihydro-1H-isoquinolin-2-yl]-6,7dimethoxy-3H-quinazolin-4-one; or a pharmaceutically-acceptable salt thereof.

30 (original). A pharmaceutical composition comprising a therapeutically effective amount of at least one compound of Claim 1 in admixture with at least one pharmaceutically acceptable carrier.

31 (Canceled)

32. (Amended) A method of treating a subject having a disease state that is alleviated by treatment with an alpha-1A/B adrenoceptor antagonist, which method comprises administering to the subject a therapeutically effective amount of at least one or more compounds of any of Claim 1.

33 (Canceled)

34 (Amended) The method of Claim 33 32 wherein the disease state comprises disorders and symptoms of the urinary tract.

35 (Amended) The method of Claim 33 32 wherein the disease state comprises improvement of sexual dysfunction.

36 (Amended) The method of Claim 33 32 wherein the disease state comprises benign prostatic hypertrophy and the irritative symptoms associated with # benign prostatic hypertrophy.

37 (Amended) The method of Claim 33 32 wherein the disease state comprises pain.

 \mathcal{Q}^5

38 (original) The method of Claim 37 wherein the disease state comprises inflammatory pain, neuropathic pain, cancer pain, acute pain, chronic pain, or complex regional pain syndromes.

39 (Canceled)

40 (New). A compound having the formula,

$$H_3C-O$$
 N
 N
 N
 N
 R^2
 R^4

wherein:

X is carbon or nitrogen;

Y is carbon; and X-Y considered together are two adjoining atoms of the ring A, said ring being selected from a fused benzo, pyrrolyl, imidazolyl, pyridyl, or pyrimidinyl ring; wherein when X is nitrogen, the bond between atoms X and Y is a single bond, and when X is carbon, the bond between atoms X and Y is double bond; and

R², R³, and R⁴ are each independently in each occurrence selected from:

hydrogen; lower alkyl;

hydroxy; hydroxyalkyl; alkoxy; alkoxyalkyl;

halo; haloalkyl; cyano; cyanoalkyl;

cyclopentyl, cyclohexyl, or cycloheptyl;

phenyl, phenyl(lower alkyl), pyridyl, pyridyl(lower alkyl) pyrimidinyl, pyrimidinyl(lower alkyl), pyrazinyl, pyrazinyl (lower alkyl), pyrrolyl,

 \mathcal{A}^{5}

pyrrolyl(lower alkyl), imidazolyl, imidazolyl(lower alkyl), and napthyl, wherein each of said aryl and heteroaryl rings in turn is optionally substituted with one to two halogen, lower alkoxy, lower alkyl, trifluoromethyl, methylthiol, and/or amino;

morpholinyl, morpholinyl(lower alkyl), piperidinyl, piperidinyl(lower alkyl), piperazinyl, piperazinyl(lower alkyl) pyrrolidinyl, pyrrolidinyl(lower alkyl), imidazolidinyl, imidazolidinyl(lower alkyl), tetrahydrofuryl, tetrahydofuryl(lower alkyl), and 1-H-pyrimidine-2,4-dione, wherein each of said heterocyclic rings in turn is optionally substituted with one to two of hydroxy, oxo, lower alkoxy, hydroxy(lower alkyl), and/or phenyl, said phenyl in turn optionally substituted with one or two substituents selected from the group consisting of lower alkyl, halogen, cyano and lower alkoxy;

-(CH₂)₀₋₃NR'R"; -SO₂NR'R"; -C(O)R'; -C(=NH)-NR'R"; -N-C(=NH)-R"; -N=CR'-NR'R"; and -N=R"";

or R² and R³ taken together form a fused pyridyl ring, or a methylenedioxy or ethylenedioxy group to form a fused heterocyclic ring; with the proviso that if A is a benzene ring, at least one of R², R³ or R⁴ is not hydrogen;

R and R" are individually selected from hydrogen, lower alkyl, lower alkoxy, hydroxyalkyl, phenyl, phenyl(lower alkyl), pyridyl, pyridyl(lower alkyl), pyrrolidinyl, furyl, imidazolidinyl, piperidinyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, morpholinyl, and 5,6-dihydro-2*H*-thiazin-3-yl; wherein each of said rings in turn is optionally substituted with lower alkyl, lower alkoxy, cyano(lower alkyl),

or alternatively, R' and R" together with the nitrogen to which they are attached may form a piperidinyl or morpholinyl ring optionally substituted with one to two substituents selected from the group consisting of lower alkyl, lower alkoxy, cyano, and cyano(lower alkyl); and

US application Serial No. 10/040,319 Attorn y Docket No. R0079C-REG

R" is selected from pyrrolidinyl and piperidinyl in turn optionally substituted with up to one of lower alkyl, lower alkoxy, cyano, or cyano(lower alkyl).

المجاد (New). A compound according to claim 41/, in which X is carbon and A is a fused aryl, pyridyl, or pyrimidinyl ring.